#### **AMENDMENTS TO THE CLAIMS**

This listing of claims will replace all prior versions, and listings, of claims in the application:

## **Listing of Claims**

1. (Currently Amended) A method of treating or preventing demyelination in a subject, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof, wherein the at least one sterol absorption inhibitor is selected from the group consisting of sterol absorption inhibitors represented by the following Formulae:

$$Ar^{1}-X_{m}-(C)_{q}-Y_{n}-(C)_{r}-Z_{p}$$

$$Ar^{3}$$

$$R^{1}$$

$$R^{3}$$

$$Ar^{2}$$

$$Ar^{2}$$

$$Ar^{2}$$

$$Ar^{2}$$

$$Ar^{2}$$

$$Ar^{2}$$

$$Ar^{2}$$

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

Ar and Ar are independently selected from the group consisting of aryl and R substituted aryl;

Ar<sup>3</sup> is aryl or R<sup>5</sup>-substituted aryl:

X, Y and Z are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R<sup>2</sup> are independently selected from the group consisting of -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup> and -O(CO)NR<sup>6</sup>R<sup>7</sup>;

R<sup>1</sup> and R<sup>3</sup> are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

 $R^4$  is 1-5 substituents independently selected from the group consisting of lower alkyl,  $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$ ,  $-O(CH_2)_{1-5}OR^6$ ,  $-O(CO)NR^6R^7$ ,  $-NR^6(CO)R^7$ ,  $-NR^6(CO)R^7$ ,  $-NR^6(CO)R^9$ ,  $-NR^6(CO)NR^7R^8$ ,  $-NR^6SO_2R^9$ ,  $-COOR^6$ ,  $-CONR^6R^7$ ,  $-COR^6$ ,  $-SO_2NR^6R^7$ ,  $S(O)_{0-2}R^9$ ,  $-O(CH_2)_{1-10}$ - $-COOR^6$ ,  $-O(CH_2)_{1-10}CONR^6R^7$ ,  $-(lower alkylene)COOR^6$ ,  $-CH=CH-COOR^6$ ,  $-CF_3$ , -CN,  $-NO_2$  and halogen;

 $R^{5}$  is 1-5 substituents independently selected from the group consisting of  $-OR^{6}$ ,  $-O(CO)R^{6}$ ,  $-O(CO)OR^{9}$ ,  $-O(CH_{2})_{1-5}OR^{6}$ ,  $-O(CO)NR^{6}R^{7}$ ,  $-NR^{6}R^{7}$ ,  $-NR^{6}(CO)R^{7}$ ,  $-NR^{6}(CO)OR^{9}$ ,  $-NR^{6}(CO)NR^{7}R^{8}$ ,  $-NR^{6}SO_{2}R^{9}$ ,  $-COOR^{6}$ ,  $-CONR^{6}R^{7}$ ,  $-COR^{6}$ ,  $-SO_{2}NR^{6}R^{7}$ ,  $S(O)_{0-2}R^{9}$ ,  $-O(CH_{2})_{1-10}$ - $-COOR^{6}$ ,  $-O(CH_{2})_{1-10}CONR^{6}R^{7}$ ,  $-(Iower alkylene)COOR^{6}$  and  $-CH=CH-COOR^{6}$ ;

 $R^6$ ,  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R<sup>9</sup> is lower alkyl, aryl or aryl-substituted lower alkyl;

#### (b) Formula (III):

$$Ar^{1}-A-Y = \begin{pmatrix} R^{1} \\ C-Z_{p} \\ R^{2} \end{pmatrix} Ar^{3}$$

$$Ar^{2}$$

(III)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (III) above:

Ar<sup>1</sup>-is-R<sup>3</sup>-substituted aryl;

Ar is R substituted aryl;

Ar is R substituted aryl;

Y and Z are independently selected from the group consisting of CH<sub>2</sub>,
-CH(lower alkyl) and C(dilower alkyl);

A is selected from O, S, S(O) or S(O)<sub>2</sub>;

 $R^{4}$  is selected from the group consisting of  $-OR^{6}$ ,  $-O(CO)R^{6}$ ,  $-O(CO)OR^{9}$  and  $-O(CO)NR^{6}R^{7}$ ;  $R^{2}$  is selected from the group consisting of hydrogen, lower alkyl and aryl; or  $R^{4}$  and  $R^{2}$  together are -O;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

 $R^{5}$  is 1–3 substituents independently selected from the group consisting of  $-OR^{6}$ ,  $-O(CO)R^{6}$ ,  $-O(CO)OR^{9}$ ,  $-O(CH_{2})_{1-5}OR^{9}$ ,  $-O(CO)NR^{6}R^{7}$ ,  $-NR^{6}R^{7}$ ,  $-NR^{6}(CO)R^{7}$ ;  $-NR^{6}(CO)OR^{9}$ ,  $-NR^{6}(CO)NR^{7}R^{8}$ ,  $-NR^{6}SO_{2}$  lower alkyl,  $-NR^{6}SO_{2}$  aryl,  $-CONR^{6}R^{7}$ ,  $-COR^{6}$ ,  $-SO_{2}NR^{6}R^{7}$ ,  $-SO_{2}OR^{6}R^{7}$ ,  $-SO_{2}OR^{6}R^{7$ 

R<sup>3</sup> and R<sup>4</sup> are independently 1-3 substituents independently selected from the group consisting of R<sup>5</sup>, hydrogen, p-lower alkyl, aryl, NO<sub>2</sub>, CF<sub>3</sub> and p-halogeno;

R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl substituted lower alkyl; and

R -is lower alkyl, aryl or aryl-substituted lower alkyl;

#### (c) Formula (IV):

$$Ar^{1}-R^{1}-Q$$

$$0$$

$$Ar^{2}$$

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (IV) above:

A is selected from the group consisting of R<sup>2</sup>-substituted heterocycloalkyl, R<sup>2</sup>-substituted heterocycloalkyl, and R<sup>2</sup>-substituted benzofused heterocycloalkyl, and R<sup>2</sup>-substituted benzofused heterocycloalkyl;

Ar is aryl or R substituted aryl;

Ar is aryl or R substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the spiro

$$\frac{R^{5} - (R^{6})_{a}}{(R^{7})_{b}} = \frac{R^{5} - (R^{6})_{a}}{R^{5} - (R^{6})_{a}}$$

R<sup>+</sup> is selected from the group consisting of:

 $------(CH_2)_q$ , wherein q is 2–6, provided that when Q forms a spiro ring, q can also be zero or 1;

 $\frac{(CH_2)_e - G - (CH_2)_r}{-S(O)_{0.2} -, e \text{ is } 0.5 \text{ and } r \text{ is } 0.5, \text{ provided that the sum of e and } r \text{ is } 1.6;}$ 

----(C2-C6-alkenylene); and

form a CH=CH- or a CH=C(C<sub>1</sub>-C<sub>6</sub> alkyl)- group;

----R is selected from:

 $R^{6} \text{ and } R^{7} \text{ are independently selected from the group consisting of } \\ -CH_{2} \text{ , } -CH(C_{1} - C_{6} \text{ alkyl}) \text{ , } -C(\text{di }(C_{1} - C_{6}) \text{ alkyl}), -CH=CH-\text{ and } \\ -C(C_{1} - C_{6} \text{ alkyl})=CH-; \text{ or } R^{5} \text{ together with an adjacent } R^{6}, \text{ or } R^{5} \text{ together with an adjacent } R^{7},$ 

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when  $R^6$  is CH=CH-or-C( $C_1$ - $C_6$ -alkyl)=CH, a is 1; provided that when  $R^7$ -is K80679.DOC

-CH=CH or  $-C(C_1-C_6)$  alkyl)=CH-, b is 1; provided that when a is 2 or 3, the  $R^6$ 's can be the same or different; and provided that when b is 2 or 3, the  $R^7$ 's can be the same or different; and when Q is a bond,  $R^4$ -also can be selected from:

where M is O, S, S(O) or  $S(O)_2$ ;

X, Y and Z are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub> alkyl) and -C(di-(C<sub>1</sub>-C<sub>6</sub>) alkyl);

 $R^{\frac{10}{4}} - and \ R^{\frac{12}{4}} - are \ independently \ selected \ from \ the \ group \ consisting \ of \ -OR^{\frac{14}{4}} - O(CO)R^{\frac{16}{4}} - and - O(CO)NR^{\frac{14}{4}}R^{\frac{15}{5}};$ 

 $R^{11}$ -and  $R^{13}$ -are independently selected from the group consisting of hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl; or  $R^{10}$ -and  $R^{11}$ -together are =0, or  $R^{12}$ -and  $R^{13}$ -together are =0;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

i and k are independently 1-5, provided that the sum of j, k and v is 1-5;

R<sup>2</sup> is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, (C<sub>1</sub>-C<sub>10</sub>)alkyl, (C<sub>2</sub>-C<sub>10</sub>)alkenyl, (C<sub>2</sub>-C<sub>10</sub>)alkynyl,

 $(C_3-C_6) eyeloalkyl, (C_3-C_6) eyeloalkenyl, R^{17}-substituted aryl, R^{17}-substituted benzyl, R^{17}-substituted benzyl, R^{17}-substituted benzyloxy, R^{17}-substituted aryloxy, halogeno, NR^{14}R^{15}, RR^{14}R^{15}(C_1-C_6-alkylene), NR^{14}R^{15}(C_1-C_6-alkylene), NR^{14}R^{15}(C_1-C_6$ 

heterocycloalkyl ring,  $R^2$  is as defined, or is =0 or ; and, where  $R^2$  is a substituent on a substitutable ring nitrogen, it is hydrogen,  $(C_1-C_6)$ alkyl, aryl,  $(C_1-C_6)$ alkoxy, aryloxy,  $(C_1-C_6)$ alkylcarbonyl, arylcarbonyl, hydroxy,  $(CH_2)_{1.6}$ CONR <sup>18</sup>  $R^{18}$ ;

wherein J is O, NH, NR 18 or CH<sub>2</sub>;

R<sup>3</sup>-and R<sup>4</sup>-are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl,

R is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl (C<sub>1</sub>-C<sub>6</sub>)alkyl, C(O)R id-or-COOR ;

 $R^{9}$ -and  $R^{17}$ -are independently 1–3 groups independently selected from the group consisting of hydrogen,  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy, -COOH,  $NO_2$ ,

-NR<sup>14</sup>R<sup>15</sup>, OH and halogeno;

 $R^{44}$ -and  $R^{45}$ -are independently selected from the group consisting of hydrogen, ( $C_4$ - $C_6$ )alkyl, aryl and aryl-substituted ( $C_4$ - $C_6$ )alkyl;

R<sup>46</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl or R<sup>17</sup>-substituted aryl;

R is hydrogen or (C<sub>1</sub>-C<sub>6</sub>)alkyl; and

R<sup>19</sup> is hydrogen, hydroxy or (C<sub>1</sub>-C<sub>6</sub>)alkoxy;

(d) Formula (V):

$$\begin{array}{c|c}
R \\
Ar^{1} \\
X_{m} \\
R^{1}
\end{array}$$

$$\begin{array}{c}
R \\
Y_{n}
\end{array}$$

$$\begin{array}{c}
Ar^{2} \\
N \\
Ar^{3}
\end{array}$$

$$\begin{array}{c}
(V)
\end{array}$$

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (V) above:

Ar -is aryl, R -substituted aryl or heteroaryl;

Ar is aryl or R -substituted aryl;

Ar is aryl or R -substituted aryl;

X and Y are independently selected from the group consisting of CH<sub>2</sub>-,
-CH(lower alkyl) and C(dilower alkyl):

R is OR<sup>6</sup>, O(CO)R<sup>6</sup>, O(CO)OR<sup>9</sup> or O(CO)NR<sup>6</sup>R<sup>7</sup>; R<sup>1</sup> is hydrogen, lower alkyl or aryl; or R and R<sup>1</sup> together are =0;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

 $R^{4} \text{ is } 1\text{-}5 \text{ substituents independently selected from the group consisting of lower alkyl,} \\ -OR^{6}, -O(CO)R^{6}, -O(CO)OR^{9}, -O(CH_{2})_{1\text{-}5}OR^{6}, -O(CO)NR^{6}R^{7}, \\ -NR^{6}R^{7}, -NR^{6}(CO)R^{7}, -NR^{6}(CO)OR^{9}, -NR^{6}(CO)NR^{7}R^{8}, -NR^{6}SO_{2}R^{9}, -COOR^{6}, \\ -CONR^{6}R^{7}, -COR^{6}, -SO_{2}NR^{6}R^{7}, S(O)_{0\text{-}2}R^{9}, -O(CH_{2})_{1\text{-}10}\text{-}COOR^{6}, \\ -O(CH_{2})_{1\text{-}10}CONR^{6}R^{7}, -(lower alkylene)COOR^{6} \text{ and } -CH\text{-}CH\text{-}COOR^{6}; \\ \end{array}$ 

 $R^{\frac{5}{3}} = 1-5 \text{ substituents independently selected from the group consisting of } \\ -OR^{\frac{6}{3}}, -O(CO)R^{\frac{6}{3}}, -O(CO)OR^{\frac{9}{3}}, -O(CH_2)_{1-5}OR^{\frac{6}{3}}, -O(CO)NR^{\frac{6}{3}}R^{\frac{7}{3}}, -NR^{\frac{6}{3}}R^{\frac{7}{3}}, -NR^{\frac{6}{3}}(CO)R^{\frac{7}{3}}, \\ -NR^{\frac{6}{3}}(CO)OR^{\frac{9}{3}}, -NR^{\frac{6}{3}}(CO)NR^{\frac{7}{3}}R^{\frac{8}{3}}, -NR^{\frac{6}{3}}SO_2R^{\frac{9}{3}}, -COOR^{\frac{6}{3}}R^{\frac{7}{3}}, -COR^{\frac{6}{3}}R^{\frac{7}{3}}, -COR^{\frac{6}{3}}R^{\frac{7}{3}}, -COR^{\frac{6}{3}}R^{\frac{7}{3}}, -COR^{\frac{6}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7}{3}}R^{\frac{7$ 

R<sup>6</sup>, R<sup>7</sup>-and R<sup>8</sup>-are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R is lower alkyl, aryl or aryl-substituted lower alkyl; and

 $R^{10}$ -is-1-5 substituents independently selected from the group consisting of lower alkyl,  $OR^6$ ,  $O(CO)R^6$ ,  $O(CO)OR^9$ ,  $O(CH_2)_{1-5}OR^6$ ,  $O(CO)NR^6R^7$ ,  $O(CO)NR^6R^7$ ,  $O(CO)NR^6R^7$ ,  $O(CO)NR^7$ , O(

# (e) Formula (VI):

$$R_4$$
 $R_1$ 
 $R_2$ 
 $R_3$ 
 $R_{20}$ 
 $R_{21}$ 
 $R_{21}$ 

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

$$R_{1-is}$$

$$-CH_{-}$$
,  $-C(lower alkyl)_{-}$ ,  $-CF_{-}$ ,  $-C(OH)_{-}$ ,  $-C(C_{6}H_{5})_{-}$ ,  $-C(C_{6}H_{4}-R_{15})_{-}$ ,  $-C(C_{6}H_{4}-R_{15})_{-}$ ,  $-C(C_{6}H_{5})_{-}$ ,  $-C(C_{6}H_{5}$ 

R2 and R3 are independently selected from the group consisting of:

-CH2-, CH(lower alkyl)-, C(di-lower alkyl)-, CH=CH- and C(lower alkyl)=CH; or
R1-together with an adjacent R2, or R1-together with an adjacent R3, form a

-CH=CH- or a -CH=C(lower alkyl)- group;

u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that when R2 is -CH=CH or -C(lower alkyl)=CH , v is 1; provided that when R3 is -CH=CH- or -C(lower alkyl)=CH , u is 1; provided that when v is 2 or 3, the R2's can be the same or different; and provided that when u is 2 or 3, the R3's can be the same or different;

R4 is selected from B (CH<sub>2</sub>)<sub>m</sub>C(O), wherein m is 0, 1, 2, 3, 4 or 5;

 $B-(CH_2)_q$ , wherein q is 0, 1, 2, 3, 4, 5 or 6;

B (CH<sub>2</sub>)<sub>e</sub>-Z (CH<sub>2</sub>)<sub>r</sub>, wherein Z is -O-, -C(O)-, phenylene, -N(R<sub>8</sub>)- or -S(O)<sub>0-2</sub>-, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6; B (C<sub>2</sub>-C<sub>6</sub>-alkenylene):

B-(C4-C6-alkadienylene);

B (CH<sub>2</sub>)<sub>t</sub>-Z (C<sub>2</sub>-C<sub>6</sub>-alkenylene), wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B (CH<sub>2</sub>)<sub>f</sub> V (CH<sub>2</sub>)<sub>g</sub>, wherein V is C<sub>3</sub>-C<sub>6</sub>-cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6; B (CH<sub>2</sub>)<sub>t</sub> V (C<sub>2</sub>-C<sub>6</sub>-alkenylene) or

B (C<sub>2</sub>-C<sub>6</sub> alkenylene) V (CH<sub>2</sub>)<sub>t</sub>, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B (CH<sub>2</sub>)<sub>a</sub>-Z (CH<sub>2</sub>)<sub>b</sub>-V (CH<sub>2</sub>)<sub>d</sub>, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or T (CH<sub>2</sub>)<sub>s</sub>, wherein T is cycloalkyl of 3–6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R<sub>1</sub>-and R<sub>4</sub>-together form the group B-CH=C-;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or

W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxyarbonylalkoxy, (lower alkoxyimino) lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF3, OCF3, benzyl, R7-benzyl, benzyloxy,

R7-benzyloxy, phenoxy, R7-phenoxy, dioxolanyl, NO2, N(R8)(R9), N(R8)(R9)-lower alkylene, N(R8)(R9)-lower alkylenyloxy, OH, halogeno, CN, N3, NHC(O)OR10, NHC(O)R10, R11O2SNH, (R11O2S)2N, S(O)2NH2, S(O)0-2R8, tert-butyldimethyl-silyloxymethyl, C(O)R12, COOR19, CON(R8)(R9), CH=CHC(O)R12, lower alkylenyloxy), N(R8)(R9)C(O)(lower alkylenyloxy) and

and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, -C(O)OR<sub>10</sub>, -C(O)R<sub>10</sub>, OH, N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkylene ,N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkylenyloxy , -S(O)<sub>2</sub>NH<sub>2</sub> and 2 (trimethylsilyl) ethoxymethyl;

R7 is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, COOH, NO2, N(R8)(R9), OH, and halogeno;

Rs and Ro are independently selected from H or lower alkyl;
R10 is selected from lower alkyl, phenyl, R7-phenyl, benzyl or R7-benzyl;
R11 is selected from OH, lower alkyl, phenyl, benzyl, R7-phenyl or R7-benzyl;
R12 is selected from H, OH, alkoxy, phenoxy, benzyloxy;

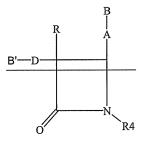
R<sub>13</sub> is selected from O , CH<sub>2</sub> , NH , N(lower alkyl) or NC(O)R<sub>19</sub>;

R<sub>15</sub>, R<sub>16</sub> and R<sub>17</sub> are independently selected from the group consisting of H and the groups defined for W; or R<sub>15</sub> is hydrogen and R<sub>16</sub> and R<sub>17</sub>, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R<sub>19</sub> is H, lower alkyl, phenyl or phenyl lower alkyl; and

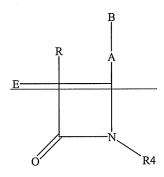
R20 and R21 are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above;

# (f) Formula (VIIA) or (VIIB):



(VIIA)

 $\mathbf{or}$ 



(VIIB)

or a pharmaceutically acceptable salt or solvate thereof, wherein:

A is CH=CH, 
$$-C=C-$$
 or  $(CH_2)_p$ —wherein p is 0, 1 or 2;

B-is

$$R_1$$
 $R_2$ 
 $R_3$ 

B' is

D is -(CH<sub>2</sub>)<sub>m</sub>C(O) - or -(CH<sub>2</sub>)<sub>q</sub> - wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C<sub>10</sub> to C<sub>20</sub> alkyl or C(O) (C<sub>9</sub> to C<sub>19</sub>) alkyl, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen, C<sub>1</sub>-C<sub>15</sub> alkyl, straight or branched, saturated or containing one or more double bonds, or B (CH<sub>2</sub>)<sub>r</sub>, wherein r is 0, 1, 2, or 3;

R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>1</sub>, R<sub>2</sub>, and R<sub>3</sub>-are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO<sub>2</sub>, NH<sub>2</sub>, OH, halogeno, lower alkylamino, dilower alkylamino, NHC(O)OR<sub>5</sub>, R<sub>6</sub>O<sub>2</sub>SNH and S(O)<sub>2</sub>NH<sub>2</sub>;

R4-is

$$(OR_5)_n$$

wherein n is 0, 1, 2 or 3;

R5 is lower alkyl; and

R<sub>6</sub> is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, earboxy, NO<sub>2</sub>, NH<sub>2</sub>, OH, halogeno, lower alkylamino and dilower alkylamino;

#### (g) Formula (VIII):

$$Ar^{1}-R^{1}-Q$$

$$0$$

$$0$$

$$0$$

$$0$$

$$0$$

$$0$$

$$0$$

(VIII)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (VIII) above,

-  $R^{26}$  is H or  $OG^{1}$ ;

——— G and G<sup>1</sup> are independently selected from the group consisting of

and 
$$R^{4a}O$$
  $CH_2R^b$ ;  $R^{4a}O$   $CH_2R^b$ ;  $R^{4}O$   $CH_2R^a$ 

OH, G is not H;

 $R, R^a \text{ and } R^b \text{ are independently selected from the group consisting of H, OH,} \\ \text{halogeno, NH2, azido, } (C_1\text{-}C_6)\text{alkoxy}(C_1\text{-}C_6)\text{-alkoxy or W-}R^{30}; \\ \text{W is independently selected from the group consisting of NH-C(O) , O-C(O) , N(R^{31}) , NH-C(O)-N(R^{31}) - \text{and O-C(S)-N(R^{31})}; \\ \text{R}^2 \text{ and } R^6 \text{ are independently selected from the group consisting of H,} \\ \text{(C_1-C_6)alkyl, aryl and aryl(C_1-C_6)alkyl;} \\$ 

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>7</sup>, R<sup>3a</sup> and R<sup>4a</sup> are independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)(C<sub>1</sub>-C<sub>6</sub>)alkyl and

-C(O)aryl;

R<sup>30</sup> is selected from the group consisting of R<sup>32</sup> substituted T,

R<sup>32</sup> substituted T (C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>32</sup> substituted (C<sub>2</sub>-C<sub>4</sub>)alkenyl,

 $R^{32}$ -substituted-(C1-C6)alkyl,  $R^{32}$ -substituted-(C3-C7)cycloalkyl and

 $R^{32}$ -substituted (C3-C7)cycloalkyl(C1-C6)alkyl;

R<sup>31</sup> is selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R<sup>32</sup> is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C1-C4)alkyl, OH, phenoxy,

-CF3, -NO2, (C1-C4)alkoxy, methylenedioxy, oxo, (C1-C4)alkylsulfanyl,

(C1-C4)alkylsulfinyl, (C1-C4)alkylsulfonyl, N(CH3)2, C(O) NH(C1-C4)alkyl,

-C(O)-N((C1-C4)alkyl)2, -C(O)-(C1-C4)alkyl, -C(O)-(C1-C4)alkoxy and

pyrrolidinylcarbonyl; or R<sup>32</sup> is a covalent bond and R<sup>31</sup>, the nitrogen to which it is attached and R<sup>32</sup> form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C<sub>1</sub>-C<sub>4</sub>)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar<sup>1</sup> is arvl or R<sup>10</sup> substituted arvl:

Ar<sup>2</sup> is aryl or R<sup>11</sup> substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,

$$\begin{array}{c|c}
 & R^{12} - (R^{13})_a \\
\hline
\text{forms the spiro group} & (R^{14})_b - & ; \text{ and}
\end{array}$$

R<sup>1</sup> is selected from the group consisting of

(CH<sub>2</sub>)<sub>q</sub>, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

 $(CH_2)_e$  E  $(CH_2)_r$ , wherein E is O, C(O), phenylene,  $NR^{22}$  or  $-S(O)_{O-2}$ , e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

————(C2-C6)alkenylene; and

————(CH2)f V (CH2)g, wherein V is C3-C6-cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

 $\frac{12}{1}$ 

 $m R^{13}$  and  $\rm R^{14}$  are independently selected from the group consisting of -CH2 , -CH(C1-C6-alkyl) , -C(di (C1-C6) alkyl), -CH=CH-and

-C(C<sub>1</sub>-C<sub>6</sub>-alkyl)=CH-; or R<sup>12</sup> together with an adjacent R<sup>13</sup>, or R<sup>12</sup> together with an adjacent R<sup>14</sup>, form a -CH-CH or a -CH-C(C<sub>1</sub>-C<sub>6</sub>-alkyl) group;

a and b are independently 0, 1, 2 or 3, provided both are not zero;

provided that when R<sup>13</sup> is -CH-CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)-CH-, a is 1;

provided that when  $R^{14}$  is CH=CH or C(C1-C6-alkyl)=CH , b is 1; K80679.DOC

provided that when a is 2 or 3, the R<sup>13</sup>'s can be the same or different; and provided that when b is 2 or 3, the R<sup>14</sup>'s can be the same or different; and when Q is a bond, R<sup>1</sup> also can be:

M is O, S, S(O) or  $S(O)_2$ ;

X, Y and Z are independently selected from the group consisting of CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub>)alkyl-and-C(di-(C<sub>1</sub>-C<sub>6</sub>)alkyl);

R<sup>10</sup> and R<sup>11</sup> are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of

 $(C_1-C_6)alkyl, OR^{19}, O(CO)R^{19}, O(CO)OR^{21}, O(CH_2)_{1-5}OR^{19},$ 

 $-O(CO)NR^{19}R^{20}$ ,  $NR^{19}R^{20}$ ,  $NR^{19}(CO)R^{20}$ ,  $NR^{19}(CO)OR^{21}$ ,

 $-NR^{19}(CO)NR^{20}R^{25}$ ,  $NR^{19}SO_2R^{21}$ ,  $COOR^{19}$ ,  $CONR^{19}R^{20}$ ,  $COR^{19}$ ,

 $-SO_2NR^{19}R^{20}$ ,  $S(O)_{0-2}R^{21}$ ,  $O(CH_2)_{1-10}$ - $COOR^{19}$ ,  $O(CH_2)_{1-10}CONR^{19}R^{20}$ ,  $(C_1-C_1)_{1-10}$ 

C6-alkylene)-COOR<sup>19</sup>, CH=CH-COOR<sup>19</sup>, CF3, CN, NO2 and halogen;

R<sup>15</sup> and R<sup>17</sup> are independently selected from the group consisting of OR<sup>19</sup>, O(CO)R<sup>19</sup>, O(CO)OR<sup>21</sup> and O(CO)NR<sup>19</sup>R<sup>20</sup>;

R<sup>16</sup> and R<sup>18</sup> are independently selected from the group consisting of H,

(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl; or R<sup>15</sup> and R<sup>16</sup> together are =0, or R<sup>17</sup> and R<sup>18</sup> together are =0;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

i and k are independently 1-5, provided that the sum of j, k and v is 1-5;

$$R_{j}^{15}$$
 $-X_{j}^{-1}(C)_{v}^{-1}-Y_{k}^{-1}S(O)_{0-2}$ 

and when Q is a bond and R<sup>1</sup> is , Ar<sup>1</sup> can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R<sup>19</sup>-and R<sup>20</sup>-are independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl-substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>21</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl or R<sup>24</sup>-substituted aryl;

R<sup>23</sup> and R<sup>24</sup> are independently 1-3 groups independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, COOH, NO<sub>2</sub>,

-NR<sup>19</sup>R<sup>20</sup>, OH and halogeno; and

## (h) Formula (IX):

$$Ar^1$$
  $C$   $Q$   $R^{26}$   $R^{26}$   $R^{8}$   $Q$   $Ar^2$   $(IX)$ 

or a pharmaceutically acceptable salt or solvate thereof, wherein in Formula (IX):

R<sup>1</sup> is selected from the group consisting of H, G, G<sup>1</sup>, G<sup>2</sup>, SO<sub>3</sub>H and PO<sub>3</sub>H;

G is selected from the group consisting of: H,

$$\begin{bmatrix} R^{5}O & OR^{4} & R^{5}O & OR^{4} & OR^{7} &$$

wherein R, R<sup>a</sup> and R<sup>b</sup> are each independently selected from the group consisting of H, OH, halo, NH<sub>2</sub>, azido, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy or W-R<sup>30</sup>;

W is independently selected from the group consisting of  $\frac{-\text{NH-C(O)}}{-\text{NH-C(O)}} \frac{-\text{O-C(O)}}{-\text{O-C(S)}} \frac{-\text{N(R}^{31})}{-\text{O-C(S)}} \frac{-\text{N(R}^{31})}{-\text{N(R}^{31})} \frac{-\text{NH-C(O)}}{-\text{N(R}^{31})} \frac{-\text{NH-C(O)}}{-\text{N(R}^{31})} \frac{-\text{NH-C(O)}}{-\text{N(R}^{31})} \frac{-\text{NH-C(O)}}{-\text{N(R}^{31})} \frac{-\text{NH-C(O)}}{-\text{N(R}^{31})} \frac{-\text{NH-C(O)}}{-\text{N(R}^{31})} \frac{-\text{NH-C(O)}}{-\text{N(R}^{31})} \frac{-\text{NH-C(O)}}{-\text{N(R}^{31})} \frac{-\text{NH-C(O)}}{-\text{N(R}^{31})} \frac{-\text{NH-C(O)}}{-\text{N(O)}} \frac{-\text{N(R}^{31})}{-\text{N(O)}} \frac{-\text{N(R}^{31})}{-\text{N(O)}} \frac{-\text{N(R}^{31})}{-\text{N(O)}} \frac{-\text{N(O)}}{-\text{N(O)}} \frac{-\text{N(O)}}{-\text{N(O)}}$ 

R<sup>2</sup> and R<sup>6</sup> are each independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, acetyl, aryl and aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>7</sup>, R<sup>3a</sup> and R<sup>4a</sup> are each independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, acetyl, aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)(C<sub>1</sub>-C<sub>6</sub>)alkyl and -C(O)aryl;

R<sup>30</sup>-is independently selected from the group consisting of R<sup>32</sup>-substituted T, R<sup>32</sup>-substituted T (C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>32</sup>-substituted (C<sub>2</sub>-C<sub>4</sub>)alkenyl, R<sup>32</sup>-substituted (C<sub>3</sub>-C<sub>7</sub>)eyeloalkyl and R<sup>32</sup>-substituted (C<sub>3</sub>-C<sub>7</sub>)eyeloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl; K80679.DOC

R<sup>31</sup> is independently selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

T is independently selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R<sup>32</sup> is independently selected from 1-3 substituents which are each independently selected from the group consisting of H, halo, (C1-C4)alkyl, OH, phenoxy, -CF3, -NO2, (C1-C4)alkoxy, methylenedioxy, oxo, (C1-C4)alkylsulfanyl, (C1-C4)alkylsulfinyl, (C1-C4)a C4)alkylsulfonyl, N(CH3)2, C(O) NH(C1-C4)alkyl, C(O) N((C1-C4)alkyl)2, C(O) (C1-C4)alkyl, C(O)-(C1-C4)alkoxy and pyrrolidinylearbonyl; or R<sup>32</sup> is a covalent bond and R<sup>31</sup>, the nitrogen to which it is attached and R<sup>32</sup> form a pyrrolidinyl, piperidinyl, N methylpiperazinyl, indolinyl or morpholinyl group, or a (C1-C4)alkoxycarbonyl substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

G<sup>1</sup> is represented by the structure:

wherein R<sup>33</sup> is independently selected from the group consisting of unsubstituted alkyl, R<sup>34</sup>substituted alkyl, (R35)(R36)alkyl,

R<sup>34</sup> is one to three substituents, each R<sup>34</sup> being independently selected from the group consisting of HOOC, HO, HS, (CH<sub>3</sub>)S, H<sub>2</sub>N, (NH<sub>2</sub>)(NH)C(NH), (NH<sub>2</sub>)C(O) and HOOCCH(NH<sub>3</sub><sup>+</sup>)CH<sub>2</sub>SS-;

R<sup>35</sup>-is independently selected from the group consisting of H and NH<sub>2</sub>-;

R<sup>36</sup>-is independently selected from the group consisting of H, unsubstituted alkyl, R<sup>34</sup>-substituted alkyl, unsubstituted cycloalkyl and R<sup>34</sup>-substituted cycloalkyl;

G<sup>2</sup> is represented by the structure:

wherein R<sup>37</sup> and R<sup>38</sup> are each independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl;

R<sup>26</sup> is one to five substituents, each R<sup>26</sup> being independently selected from the group consisting of:

- a) H;
- b) OH;
- e) ——OCH3;
- d) fluorine;
- e) chlorine;
- f) O-G;
- g) O-G<sup>1</sup>;
- i) SO<sub>3</sub>H; and
- i) PO<sub>3</sub>H;

provided that when R<sup>1</sup> is H, R<sup>26</sup> is not H, OH, OCH<sub>3</sub> or O-G;

Ar<sup>1</sup>-is aryl, R<sup>10</sup>-substituted aryl, heteroaryl or R<sup>10</sup>-substituted heteroaryl;

Ar<sup>2</sup> is aryl, R<sup>11</sup>-substituted aryl, heteroaryl or R<sup>11</sup>-substituted heteroaryl;

L is selected from the group consisting of: K80679.DOC

a) a covalent bond;

b)  $(CH_2)_q$ , wherein q is 1-6;

-c) -(CH<sub>2</sub>)<sub>e</sub>-E-(CH<sub>2</sub>)<sub>r</sub>-, wherein E is O , C(O) , phenylene, NR<sup>22</sup>- or -S(O)<sub>0.2</sub>-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-d)  $(C_2-C_6)$ alkenylene-;

e) (CH<sub>2</sub>)<sub>f</sub> V (CH<sub>2</sub>)<sub>g</sub>, wherein V is C<sub>3</sub>-C<sub>6</sub>eyeloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6; and

wherein M is O, S, S(O) or S(O)2;

X, Y and Z are each independently selected from the group consisting of —CH<sub>2</sub>, -CH(C<sub>1</sub>-C<sub>6</sub>)alkyl- and -C(di-(C<sub>1</sub>-C<sub>6</sub>)alkyl);

R<sup>8</sup> is selected from the group consisting of H and alkyl;

 $R^{10} \text{ and } R^{11} \text{ are each independently selected from the group consisting of } 1\text{-}3$  substituents which are each independently selected from the group consisting of (C1-C6)alkyl,  $-OR^{19}$ ,  $-O(CO)R^{19}$ ,  $-O(CO)OR^{21}$ ,  $-O(CH_2)_{1-5}OR^{19}$ ,  $-O(CO)NR^{19}R^{20}$ ,  $-O(CO)NR^{19}R^{20}$ ,  $-O(CO)R^{20}$ ,  $-O(CO)OR^{21}$ ,  $-O(CO)OR^{21}$ ,  $-O(CO)OR^{20}$ , -O(

 $R^{15}$ -and  $R^{17}$ -are each independently selected from the group consisting of K80679.DOC

$$-OR^{19}$$
,  $-OC(O)R^{19}$ ,  $-OC(O)OR^{21}$ ,  $-OC(O)NR^{19}R^{20}$ ;

R<sup>16</sup> and R<sup>18</sup> are each independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl;

or R<sup>15</sup> and R<sup>16</sup> together are =0, or R<sup>17</sup> and R<sup>18</sup> together are =0;

- d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1;

<u>t is 0 or 1;</u>

m, n and p are each independently selected from 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, n and p is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

---v is 0 or 1;

j and k are each independently 1-5, provided that the sum of j, k and v is 1-5;

Q is a bond, (CH2)q, wherein q is 1-6, or, with the 3-position ring carbon of the azetidinone, forms the spiro group

—— wherein R<sup>12</sup> is

R<sup>13</sup> and R<sup>14</sup> are each independently selected from the group consisting of

-CH<sub>2</sub>-, CH(C<sub>1</sub>-C<sub>6</sub>-alkyl)-, C(di-(C<sub>1</sub>-C<sub>6</sub>) alkyl), CH=CH- and C(C<sub>1</sub>-C<sub>6</sub>-alkyl)=CH; or R<sup>12</sup>-together with an adjacent R<sup>13</sup>, or R<sup>12</sup>-together with an adjacent R<sup>14</sup>, form a -CH=CH- or a -CH=C(C<sub>1</sub>-C<sub>6</sub>-alkyl)-group;

a and b are each independently 0, 1, 2 or 3, provided both are not zero; provided that when R<sup>13</sup> is CH=CH-or-C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH, a is 1; provided that when R<sup>14</sup> is CH=CH-or-C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH, b is 1; provided that when a is 2 or 3, the R<sup>13</sup>'s can be the same or different; and provided that when b is 2 or 3, the R<sup>14</sup>'s can be the same or different;

and when O is a bond and L is

then Ar<sup>1</sup> can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R<sup>19</sup>-and R<sup>20</sup>-are each independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl-substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>21</sup>-is (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl or R<sup>24</sup>-substituted aryl;

R<sup>23</sup> and R<sup>24</sup> are each independently selected from the group consisting of 1–3 substituents which are each independently selected from the group consisting of H, (C1–C6)alkyl, (C1–C6)alkoxy, COOH, NO<sub>2</sub>, NR<sup>19</sup>R<sup>20</sup>, OH and halo; and

# R<sup>25</sup> is H, OH or (C<sub>1</sub>-C<sub>6</sub>)alkoxy.

2. (Original) The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (I):

$$Ar^{1}-X_{m}-(C)_{q}-Y_{n}-(C)_{r}-Z_{p}$$

$$Ar^{3}$$

$$R^{1}$$

$$R^{3}$$

$$Ar^{2}$$

$$Ar^{2}$$

$$Ar^{2}$$

$$Ar^{2}$$

$$Ar^{2}$$

$$Ar^{2}$$

$$Ar^{2}$$

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

Ar and Ar are independently selected from the group consisting of aryl and R substituted aryl;

Ar<sup>3</sup> is aryl or R<sup>5</sup>-substituted aryl;

X, Y and Z are independently selected from the group consisting of -CH2-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and  $R^2$  are independently selected from the group consisting of  $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$  and  $-O(CO)NR^6R^7$ ;

R<sup>1</sup> and R<sup>3</sup> are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

 $R^4$  is 1-5 substituents independently selected from the group consisting of lower alkyl,  $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$ ,  $-O(CH_2)_{1-5}OR^6$ ,  $-O(CO)NR^6R^7$ ,

-CONR  $^6$ R  $^7$ , -COR  $^6$ , -SO<sub>2</sub>NR  $^6$ R  $^7$ , S(O)<sub>0-2</sub>R  $^9$ , -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR  $^6$ , -O(CH<sub>2</sub>)<sub>1-10</sub>CONR  $^6$ R  $^7$ , -(lower alkylene)COOR  $^6$ , -CH=CH-COOR  $^6$ , -CF<sub>3</sub>, -CN, -NO<sub>2</sub> and halogen;

 $R^5$  is 1-5 substituents independently selected from the group consisting of  $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$ ,  $-O(CH_2)_{1-5}OR^6$ ,  $-O(CO)NR^6R^7$ ,  $-NR^6R^7$ ,  $-NR^6(CO)R^7$ ,  $-NR^6(CO)OR^9$ ,  $-NR^6(CO)NR^7R^8$ ,  $-NR^6SO_2R^9$ ,  $-COOR^6$ ,  $-CONR^6R^7$ ,  $-COR^6$ ,  $-SO_2NR^6R^7$ ,  $S(O)_{0-2}R^9$ ,  $-O(CH_2)_{1-10}$ - $-COOR^6$ ,  $-O(CH_2)_{1-10}CONR^6R^7$ ,  $-(lower alkylene)COOR^6$  and  $-CH=CH-COOR^6$ ;

 $R^6$ ,  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R<sup>9</sup> is lower alkyl, aryl or aryl-substituted lower alkyl.

- 3-9. (Cancelled).
- 10. (Original) The method according to claim 1, wherein the at least one sterol absorption inhibitor is administered to a subject in an amount ranging from about 0.1 to about 1000 milligrams of sterol absorption inhibitor per day.
- 11. (Original) The method according to claim 1, further comprising the step of administering at least one antidemyelination agent to the subject.
- 12. (Original) The method according to claim 11, wherein the antidemyelination agent is selected from the group consisting of beta interferon, glatinamer acetate and corticosteroids.
- 13. (Original) The method according to claim 1, further comprising the step of administering at least one HMG CoA reductase inhibitor to the subject.
- 14. (Original) The method according to claim 13, wherein the at least one HMG CoA reductase inhibitor is atorvastatin.

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- 15. (Original) The method according to claim 13, wherein the at least one HMG CoA reductase inhibitor is simvastatin.
- 16. (Original) The method according to claim 1, wherein the subject has multiple sclerosis.
- 17. (Currently Amended) A method of treating or preventing demyelination in a subject is provided, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor represented by Formula (II) below:

(II)

or a pharmaceutically acceptable salt or solvate thereof.

- 18. (Currently Amended) A method of treating or preventing multiple sclerosis in a subject, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof.
  - 19. (Cancelled).
  - 20. (Cancelled).